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BIOLOGICALLY ACTIVE COMPOSITION

TECHNICAL FIELD

The present invention relates to the field of biologically active compositions and, in particular, to a biologically active stick composition. Preferably the invention relates to pharmaceutical compositions but, other applications outside the medical field are possible within the scope of the invention.

The invention also relates to the use of such compositions as medicaments and for the manufacture of stick medicaments for treating dermal conditions, as well as to a process for the preparation of such compositions.

BACKGROUND OF THE INVENTION

One of the problems associated with topical, medical treatment with high potency drugs is in the application of the composition. Most compositions intended for dermatological treatment of the skin are based on cream, ointment or gel vehicles and, when these are applied to the skin, the incidence of extralesional treatment can be substantial; areas surrounding the lesion to be treated as well as the fingers used to apply a product can be affected by the drug.

By using stick compositions having higher viscosities, which can be housed within a protective package, such extralesional treatment can be avoided or at least substantially eliminated. Another advantage of stick formulations is that, by their use, it is simple to achieve a uniform distribution of drug over the lesion to be treated.

Stick based products are not novel in the treatment of skin conditions and several active compounds have been formulated into sticks. Stick compositions, as herein referred to, are formed from ordible, usually soft and waxy materials having a solid consistency. When rubbed

across the skin, such compositions are eroded and deposit a coating of their constituent material on the skin. Generally, stick compositions include mixtures of lipids and surfactants as carriers.

A major drawback of those stick compositions used today, however, results from the fact that many drugs, at best, are only marginally soluble in their lipid based formulations and, therefore, must be incorporated into stick compositions as suspended solid particles. This, however, leads to several disadvantages, the most serious one being sedimentation of the active ingredient during manufacture. The method used to manufacture stick compositions involves heating, mixing, packing and cooling and, during the heating, mixing and cooling steps, the viscosity of the lipid mixture can be sufficiently low to allow the suspended active drug to settle. The resulting sedimentation of the active ingredient reduces the homogeneity of the composition and can prevent the product from meeting the standards required for pharmaceutical products.

Several solutions to the sedimentation problem have been proposed. Some are based on mechanical measures, which involve regularly turning any vessel used to accommodate the composition hot or it has set, so that the drug particles are maintained in a suspended state. Others involve the addition of thickening agents to form thixotropic gels. None of these proposals, however, have enabled the manufacture of homogeneous formulations in a reproducible way.

Another disadvantage with topical formulations in general, and stick formulations in particular, is the poor bioavailability of the active drug to the skin. For topical dermatological formulations containing corticosteroides, bioavailability can be in the order of a few percent. Low bioavailability has many implications. One is that the effect of a drug can be variable and non-reproducible, both at the site of application and syste-

mically. Another is that, when conditions at the site of application are favourable for the penetration of a drug, systemic concentrations thereof can reach toxic levels.

DESCRIPTION OF THE INVENTION

The present invention relates to a completely novel stick composition for biologically active agents, which may seem similar to the aforementioned lipid based stick products, but which is of a completely different structure and thereby possessed of completely different properties as compared thereto.

More specifically, stick compositions according to the present invention do not rely upon mechanical means to ensure uniform distribution of the biologically active agent. The active agent is distributed in a lipid carrier, but not in a suspended or dispersed state as previously practised but, rather, in a dissolved state. Thus, it has unexpectedly been found that, in spite of the generally poor solubility of the biologically active compounds previously formulated in stick compositions, a more or less complete dissolution of the biologically active agent can be obtained by means of the present invention.

A first object of the invention is to provide a composition which contains a biologically active agent in a dissolved state.

Another object of the invention is to provide a composition which possesses an enhanced stability against sedimentation of the active agent.

Still another object of the invention is to provide homogeneous compositions.

One other object of the invention is to provide compositions possessing an enhanced release rate for the active agent, i.e. improved bioavailability, especially for use in dermatology.

Still another object of the invention is to provide compositions, the consistency of which can be controlled

by means of the composition thereof, especially to accomplish a composition to be administered via the skin.

One other object of the invention is to provide a composition for use as a drug or medicament, especially for the treatment of dermatological conditions.

Still another object of the invention is to provide a process for the preparation of compositions, especially stick compositions of the type referred to above.

Still other objects of the invention should be obvious to a person skilled in the art after having studied the following description of the invention.

Thus, according to a first aspect of the present invention there is provided a composition comprising a biologically active agent dissolved in a carrier system, wherein the carrier system includes a solvent for the active agent and a stiffening agent for imparting a solid consistency to the composition. Preferably, the stiffening agent is a viscosity enhancing agent capable of imparting a soft and erodible consistency to the composition.

It is preferred that compositions in accordance with the present invention are stick compositions as hereinbefore defined.

By employing the present invention, it is possible to combine the good characteristics of a homogeneous solution with the good characteristics of a stick products, which combination has hitherto not been possible.

As the carrier system preferably comprises miscible solvent and viscosity enhancing substances, compositions in accordance with the invention can form stable stick compositions without any substantial sedimentation of the biologically active agent.

In an embodiment the solvent is chosen to be capable of dissolving the biologically active agent at a temperature where significant decomposition of said agent is avoided.

Generally, the biologically active agent is any biologically active compound, or mixture of compounds, which can be dissolved to a substantial extent in the carrier system of the present invention. Typically, this means that the biologically active agent is a lipophilic, i.e. lipid soluble, compound. In this context the invention is of special interest in connection with drugs or medical compounds but, of course, the inventive idea is applicable to any biologically active agent for which a stick formulation is appropriate. The term "biologically active agent" should be interpreted in a broad, conventional sense to mean an element, compound or composition which, when present in an effective amount, will interact with living organisms, preferably to elicit a therapeutic effect.

There are a large number of agents falling within the above-mentioned definitions and which can be formulated in compositions according to the invention. However, some specific examples include steroids, e.g. corticosteroids, vitamins, sex hormones, biologically active lipids, fatty acids, antibiotics or antimicrobials and local anesthetics. In this connection it should be noted that, as is common in the art, the compounds can be used per se or in the form of salts or esters or other chemically modified forms thereof.

Some examples within the above-mentioned groups include vitamins A, B2, B3, E, K and derivatives thereof, androgens, estrogens and derivatives thereof, amide type local anesthetics and antimicrobials such as antivirals, antibacterials, antiprotozoals and antifungals. Further examples include fluocinonide, omega-3-fatty acid and azelaic acid, and salts and esters thereof, clobetasol, and salts and esters thereof, and betamethasone and salts and esters thereof, particularly betamethasone-17-valerate.

Generally the solvent used is capable of dissolving [illegible] specific active agent used to the desired extent

Preferably, the solvent comprises an aliphatic compound including at least one -C-O-group which can be present in a -C-OH or a -C(=O)-O-C-group. In preferred embodiments, the solvent is an alkylene glycol having the general formula $R(OH)_2$; a di- or poly-alkylene glycol having the general formula $HOR(OR)_nOROH$; a C_4-C_{36} (e.g. C_4-C_{18}) aliphatic primary alcohol; or a mixture of two or more such compounds. In the foregoing formulae, each group R can be the same or different and is an alkyl, preferably a C_2-C_6 alkyl group and $n \geq 0$. Preferred groups R are ethyl, propyl and butyl groups and the preferred glycols include propylene glycol, butylene glycol, dipropylene glycol and dibutylene glycol.

The preferred primary alcohols are those with carbon chains corresponding to fatty acids. Specific examples of such alcohols are lauryl alcohol, myristyl alcohol, palmityl alcohol, stearyl alcohol and oleyl alcohol, oleyl alcohol being especially preferred.

Other examples of suitable primary alcohols are ricinolyl alcohol, linolyl alcohol and linolenyl alcohol.

In other embodiments of the invention the solvent can be selected from lipid esters, such as fatty acid esters and esters of sorbic acid. Examples of fatty acids from which such esters can be derived include lauric acid, myristic acid, palmitic acid, stearic acid, oleic acid, ricinoleic acid, linolic acid and linolenic acid. The precursor alcohols are preferably the C_1-C_6-alkanols methanol, etanol, propanol, butanol, pentanol and hexanol with either straight or branched carbon chains. Especially preferred esters in this respect are the propyl esters, including the isopropyl esters.

Still further solvents usable in the invention are the C_2-C_6 alkylene carbonates, e.g. ethylene, propylene or butylene carbonate, preferably propylene carbonate.

The viscosity enhancing agent should be chosen such that it is compatible with the solvent and so that it im-

parts the desired viscosity or consistency thereto. Generally this means that said viscosity enhancing agent is a waxy substance.

In preferred embodiments of the invention said waxy substance is a natural or synthetic wax which is generally defined as monoester of a long-chained (typically C_{14}-C_{36}, e.g. C_{18}-C_{24}) carboxylic acid with a long-chained (typically C_{16}-C_{36}) alcohol. In both cases the carbon chains, preferably, are unbranched aliphatic chains.

In another embodiment the waxy substance is a fat and, preferably, a triglyceride of a C_{18}-C_{36} fatty acid or a glycol (typically an alkylene glycol as herein before defined and comprising 2-6 carbon atoms) ester of a C_{18}-C_{36} fatty acid.

Combinations of said waxes and/or waxy substances may be employed and, in an especially preferred embodiment of the invention, the viscosity enhancing agent comprises a combination of a natural and/or synthetic wax plus a triglyceride and/or a glycol ester, as defined above, and enables the carrier system's rheological properties to be accurately tailored, for example, to achieve a broad softening point.

Other preferred waxes are paraffin wax and cerasine wax.

In some cases, the viscosity enhancing agent, or waxy substance, can cause the composition to be too viscous. In accordance with the present invention this can be avoided by incorporating into the carrier system an oil having the capacity to plasticize the viscosity enhancing agent and reduce the viscosity of the carrier system to a level that is suitable for the composition's intended purpose. Preferred plasticizing oils include low molecular weight aliphatic acids and alcohols, especially with branched chains, e.g. fluid lanoline.

When the inventive composition is for use as a medicament, it should hardly need mentioning that all of the above-identified ingredients, as well as other op-

tional conventional furth r ingr dients, should be pharmaceutically acceptable and non-toxic when the composition is used in the intended manner.

The combination of solvent and viscosity enhancing agent in the carrier system should be selected in line with the principles given above such that a proper dissolution rate, consistency and release rate are obtained. Generally this means that the amounts of the different ingredients could be decided experimentally using techniques well known to persons skilled in the art. However, in general the amount of solvent can be within the range of 10-85 % by weight, the amount of viscosity enhancing agent can be within the range of 15-90 % by weight and the amount of plasticizing oil can be within the range of 0- 30 % by weight, based on the total weight of the carrier system.

Preferably the amount of solvent is within the range of 15-75, more preferably 20-50, percent by weight, while the amount of viscosity enhancing agent is within the range of 15-55, more preferably 25-50, percent by weight and the amount of plasticizing oil is within the range of 0-30, more preferably 2-20, percent by weight.

According to a second aspect of the invention, the consistency of the composition can be adjusted such that instead of a waxy, solid composition a cream- or ointment-like composition is produced. Such compositions, also, enjoy the advantages referred to above concerning sedimentation and bioavailability. In preferred embodiments of this second aspect of the invention the amount of solvent is preferably within the range of 60-97.5, more preferably 90-97, percent by weight, while the amount of the viscosity enhancing agent is preferably within the range of 2.5-40, more preferably 3-10, percent by weight, based on the total weight of the carrier system.

Furthermore, it is possible to omit the viscosity enhancing agent from the composition. The bioavailability

from such a composition is enhanced relative to known products in this field.

The preferred composition according to this third aspect of the invention comprises 90-99.99, more preferably 95-99.98, % by weight, of solvent, based on the total weight of the composition. However, the invention is not delimited to such high percentages of the solvent. Thus, for instance when the active agent is selected from the class of biologically active lipids, e.g. azelaic acid and omega-3-fatty acids, the amount of said active agent can be as high as up to 40% by weight, which in turn means that the amount of solvent can be 60-99.99% by weight.

Other preferred embodiments of the creams, ointments and solutions in accordance with the second and third aspects of the invention are similar to the preferred embodiments of the composition in accordance with the first aspect of the invention.

The amount of the biologically active agent is of course dependent on the effect to be accomplished. Generally, however, the upper limit will be the active agent's solubility limit in the carrier system, which can be up to 40 percent by weight or in some cases merely up to 10 or even 5 percent by weight, in all cases calculated on the weight of the carrier system. Preferably the range thereof can be 0.01 - 10, especially 0.02 - 5, percent by weight, on the same basis. The exact amount, however, is easily determined by a person skilled in the art with reference to the optimum or maximum effect it is wished to obtain.

It is especially preferred that compositions according to the invention are for pharmaceutical or medical purposes. In this case, the biologically active agent can be a therapeutic or prophylactic agent of any kind. The other ingredients employed must be selected in accordance with the general principles applying to the formulation of medical or pharmaceutical compositions.

In an especially preferred embodiment, the inventive composition comprises a medicament for administration to the skin, or for dermal administration. In such a case a person skilled in the art will formulate the composition such that its viscosity will be proper for administration in that way and such that the release of the active compound will have the desired profile.

Thus, from the above-mentioned it should be clear that stick compositions according to the present invention are especially well suited for the treatment of dermatological conditions.

According to yet another aspect of the invention there is also provided a process for the preparation of compositions, preferably stick compositions, in accordance with the invention. Said process comprises dissolving a biologically active agent in a solvent therefor, combining the resulting solution with a viscosity enhancing agent so as to impart a solid consistency to said solution and shaping the resulting formulation into a stick.

Preferably the active agent is dissolved in the solvent, or part thereof, and the solution obtained is then added to a melted mass of the viscosity enhancing agent, preferably while being stirred. When a homogeneous mass has been obtained, said mass, preferably after some cooling, can then be poured into a mould and allowed to cool and set in the desired shape. Proper temperatures in this respect are easily determined by a person skilled in the art.

The composition is physically stable below +50°C although softening of the structure may occur. The composition should be capable of returning to its original viscosity after cooling to +30°C or lower. This may also be valid after heating to temperatures in excess of +50°C.

After such heating followed by cooling to +30°C or lower the composition will still be homogeneous. This is an advantage compared to stick formulations where the ac-

tive drug is in solid form, i.e. suspended. In these compositions the active drug will settle out at higher temperatures and form an unhomogeneous preparation.

EXAMPLES

The invention will now be exemplified further by means of the following non-limiting working examples.

EXAMPLE 1

A stick composition was prepared from the following ingredients:

Ingredient	% by weight
Clobetasol propionate	0.05
oleyl alcohol	35.6
fluid lanoline	14.3
paraffin wax	7.1
cerasine wax	5.4
mixture of C_{18}-C_{36} acid glycol esters	14.3
mixture of C_{16}-C_{36} acid triglycerides	10.7
isopropyl palmitate	12.5

The clobetasol propionate was dissolved in the oleyl alcohol. Separately the lanoline, paraffin wax, cerasine wax, glycol esters, triglycerides and the isopropyl palmitate were mixed together in a glass beaker.

The mixture in the glass beaker was then heated to about 75°C and was allowed to melt while being stirred. The oleyl alcohol and clobetasol propionate solution, also heated to 75°C, was then added thereto and the combination was stirred for 10 minutes.

After reducing the temperature to about 65°C the resulting composition was poured into a stick mould and allowed to cool and solidify.

In penetration tests performed in a Franz-cell it was found that the active agent was released from the above-described stick composition at a considerably

higher rat , viz. 2-3 times high r, than from a commercial ointment.

Franz-cells are disclosed in an article by Franz, T.J., Percutaneous absorbance. On the relevance of ...., J. Invest., Dermatol. 67, 190, 1975. Franz-cells are used to provide an in vitro method for determinating the penetration rate of a drug through a polymeric membrane or through a skin sample.

EXAMPLE 2

A stick composition was prepared in a manner similar to that of Example 1 with the following ingredients:

Ingredient	% by weight
Fluocinonide	0.05
oleyl alcohol	32.0
prcpylene glycol	10.0
fluid lanoline	12.9
paraffin wax	6.4
cerasine wax	4.9
mixture ot C_{18}-C_{36} acid glycol esters	12.9
mixture of C_{18}-C_{36} acid triglycerides	9.6
isopropyl palmitate	11.2

In tests performed in a Franz-cell results were obtained which were similar to those of the stick prepared in Example 1.

EXAMPLE 3

An ointment was manufactured having the following composition:

Ingredient	% by weight
Clobetasol propionate	0.05
oleyl alcohol	90.95
mixture of C_{18}-C_{36} acid triglycerides	9.0

The clobetasol propionate was dissolved in 30% of the oleyl alcohol. The remainder of the oleyl alcohol was heated to about 70°C and the mixture of triglycerides was added and allowed to melt while being stirred. The clobetasol propionate solution was then added and the resulting formulation was cooled while being stirred.

In tests performed in a Franz-cell the release rate of the active ingredient was about 3 times higher than that of commcercial ointments.

In this context it can also be added that by varying the percentage of C_{18}-C_{36} acid triglycerides added to the oleyl alcchol ointments having varying viscosities can be obtained.

EXAMPLE 4

A solution was manufactured by dissolving clobetasol propionate in oleyl alcohol in the following proportions:

Ingredient	% by weight
clobetasol propionate	0.05
oleyl alcohol	99.95

When tested in a Franz-cell, the release rate of the active ingredient from this solution was found to be about 3 times higher than that of a commercial product.

CLAIMS

1. A composition comprising a biologically active agent dissolved in a carrier system, wherein the carrier system includes a solvent for the active agent and a stiffening agent for imparting a solid consistency to the composition.

2. A composition as claimed in claim 1, wherein the stiffening agent is a viscosity enhancing agent capable of imparting a soft and erodible consistency to the composition.

3. A composition as claimed in claim 1 or claim 2, wherein the active agent is able to remain dissolved in the carrier system when the composition has a solid consistency.

4. A composition as claimed in any of claims 1-3, wherein the active agent is able to remain dissolved in the carrier system when the composition has a fluid consistency.

5. A composition as claimed in any of the preceding claims, wherein the solvent is capable of dissolving the biologically active agent at a temperature where significant decomposition of said agent is avoided.

6. A composition as claimed in any one of the preceding claims, wherein the biologically active agent is a lipophilic compound, preferably a lipophilic drug.

7. A composition as claimed in claim 6, wherein the biologically active compound is selected from steroids, including corticosteroids, sex hormones, including androgens and estrogens and derivatives thereof, vitamins, including vitamins A, D2, D3, E, K and derivatives thereof, biologically active lipids, fatty acids, antibiotics and antimicrobials, including antivirals, antibacterials, antiprotozoals and antifungals, and local anestetics, preferably of the amide type.

8. A composition as claimed in claim 7, wherein the biologically active compound is selected from fluocinoni-

de, omega-3-fatty acid and azelaic acid and salts and ters ther of.

9. A composition as claimed in claim 7, wherein the biologically active compound is clobetasol or a salt or an eter thereof, preferably clobetasol propionate.

10. A composition as claimed in any of the preceding claims, wherein the solvent comprises an aliphatic compound including at least one -C-O-group,

preferably in a -C-OH or a -C-OC-group.

11. A composition as claimed in claim 10, wherein the solvent comprises an alkylene glycol having the general formula $R(OH)_2$, where R is an alkyl group; a di- or poly-alkylene glycol having the general formula $HOR(OR)_n$ OROH where each group R is the same or different and is an alkyl group, and $n \geq 0$; a C_2-C_{36} (preferably C_4-C_{18}) aliphatic primary alcohol; or a mixture of two or more such compounds.

12. A composition as claimed in claim 11, wherein each group R is a C_2-C_4 alkyl group.

13. A composition as claimed in claim 12, wherein the solvent comprises propylene glycol, butylene glycol, dipropylene glycol and/or dibutylene glycol.

14. A composition as claimed in claim 11, wherein the solvent comprises myristyl alcohol, palmityl alcohol, oleyl alcohol, stearyl alcohol or lauryl alcohol, preferably oleyl alcohol.

15. A composition as claimed in any one of the preceding claims, wherein the solvent comprises a C_2-C_4 alkylene carbonate, preferably propylene carbonate.

16. A composition as claimed in any one of the preceding claims, wherein the solvent comprises a C_2-C_4-alkanol ester of a fatty acid and/or a C_2-C_4-alkanol ester of sorbic acid.

17. A composition as claimed in claim 16, wherein the solvent comprises propyl (incl. isopropyl) myristate,

palmitate, oleate, stearate and/or laurate, and/or the propyl (incl. isopropyl) ester of sorbic acid.

18. A composition as claimed in any one of the preceding claims, wherein the viscosity enhancing agent is a waxy substance.

19. A composition as claimed in claim 18, wherein the waxy substance comprises a natural and/or synthetic wax, preferably a monoester of a long-chained carboxylic acid with a long-chained alcohol; a fat, preferably a triglyceride of a C_{18}-C_{36} fatty acid; a glycol ester of a C_{18}-C_{36} fatty acid; or a mixture of two or more such compounds.

20. A composition as claimed in claim 19, wherein the waxy substance comprises a combination of a natural or synthetic wax and a triglyceride and/or a glycol ester.

21. A composition as claimed in any one of the preceding claims, wherein the carrier system also comprises an oil capable of plasticizing the viscosity enhancing agent and reducing the viscosity of the carrier system.

22. A composition as claimed in claim 21, wherein the plasticizing oil is selected from low molecular weight aliphatic acids and alcohols, preferably having branched chains, and preferably is fluid lanoline.

23. A composition as claimed in any one of the preceding claims, wherein the amount of solvent is within the range of 10-85 % by weight, the amount of viscosity enhancing agent is within the range of 15-90 % by weight and the amount of plasticizing oil is within the range of 0-30 % by weight, based on the total weight of the carrier system.

24. A composition as claimed in claim 23, wherein the amount of solvent is within the range of 15-75, preferably 20-50, % by weight, the amount of viscosity enhancing agent is within the range of 15-55, preferably 25-50, % by weight and the amount of plasticizing oil is within the range of 0-30, preferably 2-20, % by weight.

25. A composition as claimed in any one of the preceding claims, wherein the biologically active agent is present in a concentration of up to the solubility limit thereof in the carrier system.

26. A composition as claimed in any one of the preceding claims, wherein the concentration of the biologically active agent is 0,01-10, preferably 0,01-5, % by weight, based on the weight of the carrier system.

27. A composition as claimed in any of the preceding claims, wherein said composition is a stick composition.

28. A biologically active composition in the form of a solution, comprising a biologically active agent dissolved in a solvent as defined in any one of claims 10-17.

29. A composition as claimed in claim 28, wherein the amount of said solvent is within the range of 60-99.99, preferably 90-99.99, more preferably 95-99.98, % by weight, based on the total weight of the composition.

30. A composition as claimed in any one of claims 28 or 29, wherein the biologically active agent is as defined in any one of claims 6-9.

31. A composition comprising a biologically active agent dissolved in a carrier system, wherein the carrier system includes a solvent for the active agent and a stiffening agent for imparting a cream or ointment consistency to the composition.

32. A composition as claimed in claim 31, wherein the stiffening agent is a viscosity enhancing agent.

33. A composition as claimed in claim 31 or claim 32, wherein the active agent is able to remain dissolved in the carrier system when the composition has an ointment or cream consistency.

34. A composition as claimed in any one of claims 31-33, wherein the active agent is able to remain dissolved in the carrier system when the composition has a fluid consistency.

35. A composition as claimed in any one of claims

31-34, wh r in the amount of solvent is within the range of 60-97.5, preferably 90-97, % by weight, the amount of viscosity enhancing agent is within the range of 2.5-40, preferably 3-10, % by weight, based on the total weight of the carrier system.

36. A composition as claimed in any one of claims 31-35, wherein the biologically active agent is as defined in any one of claims 6-9.

37. A composition as claimed in any one of claims 32-36, wherein the solvent is as defined in any one of claims 10-17 and the viscosity enhancing agent is as defined in any one of claims 18-20.

38. A composition as claimed in claim 37 further comprising a plasticizing oil as defined in either of claims 21 or 22.

39. A composition as claimed in any one of the preceding claims for use as a medicament, said biologically active agent being a therapeutically or prophylactically active agent.

40. A composition as claimed in claim 39, for topical application to the skin of a mammal, especially man, wherein the composition has a viscosity that is adapted for such application.

41. Use of a composition as claimed in any of the preceding claims for the preparation of a medicament for therapeutic or prophylactic treatment of a dermatological condition.

42. A use as claimed in claim 41, wherein the composition is as claimed in any one of claims 5-27.

43. A process for the preparation of a biologically active composition as claimed in any of claims 1-27, comprising dissolving the biologically active agent in a solvent therefor, combining the resulting solution with a viscosity enhancing agent so as to impart a solid consistency to said solution and shaping the resulting composition into a desired form.

44. A composition as claimed in any of the preceding

claims, wher in the biologically active compound is beta-m thasone, or a salt or ester th r of, preferably beta-methasone-17-valerate.

ABSTRACT

A biologically active stick composition comprising a biologically active agent dissolved in a carrier system that comprises a solvent for said biologically active agent and a stiffening agent therefor, said stiffening agent imparting stick consistency to the composition.

The composition can be prepared by dissolving the active agent in the solvent, combining the solution with the stiffening agent and shaping the formulation into a stick.

The composition is especially intended for use as a medicament, preferably in the treatment of dermatological conditions.

The composition can also be prepared as a solution if omitting the stiffening agent or as a cream or an ointment by reducing the amount of said stiffening agent, outstanding bioavailability properties being obtained also in these cases.